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(54) BORON-CONTAINING SMALL MOLECULES

(71) Applicant: **Anacor Pharmaceuticals, Inc.**, Palo Alto, CA (US)

(72) Inventors: Stephen J. Baker, Collegeville, PA
(US); Tsutomu Akama, Sunnyvale, CA
(US); Vincent S. Hernandez,
Watsonville, CA (US); Karin M. Hold,
Belmont, CA (US); Kirk Maples, San
Jose, CA (US); Jacob J. Plattner,
Berkeley, CA (US); Virginia Sanders,
San Francisco, CA (US); Yong-Kang
Zhang, San Jose, CA (US); Gregory T.
Fieldson, Morgantown, WV (US);

(73) Assignee: Anacor Pharmaceuticals, Inc., Palo Alto, CA (US)

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James J. Leyden, Malvern, CA (US)

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(56) References Cited

U.S. PATENT DOCUMENTS

2,260,336 A 10/1941 Prescott et al. 2,741,548 A 4/1956 Darling et al. (Continued)

FOREIGN PATENT DOCUMENTS

EP 0969531 1/2000 EP 0765331 B1 8/2000 (Continued)

OTHER PUBLICATIONS

U.S. Appl. No. 13/861,846, filed Apr. 12, 2013, now abandoned. U.S. Appl. No. 13/673,860, filed Nov. 9, 2012, now abandoned. U.S. Appl. No. 13/607,321, filed Sep. 7, 2012, now abandoned. U.S. Appl. No. 13/607,405, filed Sep. 7, 2012, now abandoned. U.S. Appl. No. 13/607,250, filed Sep. 7, 2012, now abandoned. U.S. Appl. No. 15/091,394, filed Apr. 5, 2016. U.S. Appl. No. 15/068,352, filed Mar. 11, 2016. U.S. Appl. No. 15/046,322, filed Feb. 17, 2016. U.S. Appl. No. 14/977,052, filed Dec. 21, 2015. U.S. Appl. No. 14/537,771, filed Nov. 10, 2014. U.S. Appl. No. 14/537,694, filed Nov. 10, 2014, now abandoned. U.S. Appl. No. 14/688,581, filed Mar. 7, 2014, now abandoned. U.S. Appl. No. 14/688,581, filed Apr. 16, 2015. (Continued)

Primary Examiner — Rei-Tsang Shiao (74) Attorney, Agent, or Firm — Morgan, Lewis & Bockius LLP

(57) ABSTRACT

This invention relates to compounds useful for treating fungal infections, more specifically topical treatment of onychomycosis and/or cutaneous fungal infections. This invention is directed to compounds that are active against fungi and have properties that allow the compound, when placed in contact with a patient, to reach the particular part of the skin, nail, hair, claw or hoof infected by the fungus. In particular the present compounds have physiochemical properties that facilitate penetration of the nail plate.

12 Claims, 63 Drawing Sheets